

10/630,343

# STN STRUCTURE SEARCH

4.9.04

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L6 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:867255 CAPLUS  
DOCUMENT NUMBER: 140:156716  
TITLE: New analogues of AHMA as potential antitumor agents:  
synthesis and biological activity  
AUTHOR(S): Chang, Jang-Yang; Lin, Chyun-Feng; Pan, Wen-Yu;  
Bacherikov, Valeriy; Chou, Ting-Chao; Chen,  
Ching-Huang; Dong, Huajin; Cheng, Shu-Yun; Tasi,  
Tsong-Jen; Lin, Yi-Wen; Chen, Kuo-Tung; Chen,  
Li-Tzong; Su, Tsann-Long  
CORPORATE SOURCE: Division of Cancer Research, National Health Research  
Institutes, Taipei, Taiwan  
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(23),  
4959-4969  
CODEN: BMECEP; ISSN: 0968-0896  
PUBLISHER: Elsevier Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A series of new analogs of 3-(9-acridinylamino)-5-hydroxymethylaniline  
(AHMA, 1) and AHMA-ethylcarbamate (2) were synthesized by introducing an  
O-alkylcarboxylic acid esters to the CH<sub>2</sub>OH function, displacing the CH<sub>2</sub>OH  
function with a dimethylaminocarbonyl group or with a Me function  
introduced at the meta-, para- or ortho-position to the NH<sub>2</sub> group to form  
5-(9-acridinylamino)-m-toluidines (AMTs), 5-(9-acridinylamino)-p-  
toluidines (APTs) or 5-(9-acridinylamino)-o-toluidines (AOTs), resp. The  
inhibitions of a variety of human tumor cell growth, interactions with DNA  
as well as inhibitory effect against topoisomerase II (Topo II) of these  
new agents were studied. Among AMT, APT and AOT derivs. with  
dimethylaminoethylcarboxamido and Me at C<sub>4</sub> and C<sub>5</sub> of acridine moiety  
(i.e., 21c, 23c and 26c) were more cytotoxic than AHMA (1) and  
AHMA-ethylcarbamate (2), depending upon the tumor cell line tested.  
Detailed structure-activity relationships of the new analogs were studied.

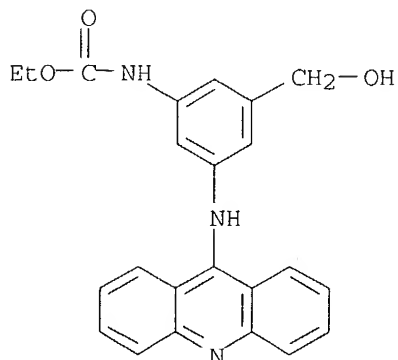
IT 237766-09-5

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); THU  
(Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent);  
USES (Uses)

(preparation and biol. activity of analogs of AHMA (3-(9-acridinylamino)-5-  
hydroxymethylaniline) as potential antitumor agents)

RN 237766-09-5 CAPLUS

CN Carbamic acid, [3-(9-acridinylamino)-5-(hydroxymethyl)phenyl]-, ethyl  
ester (9CI) (CA INDEX NAME)



IT 110004-32-5P 237768-07-9P 655238-59-8P  
655238-60-1P 655238-62-3P 655238-63-4P

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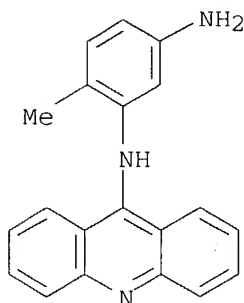
655238-64-5P 655238-65-6P 655238-66-7P  
655238-67-8P 655238-69-0P 655238-70-3P  
655238-72-5P 655238-73-6P 655238-74-7P  
655238-75-8P 655238-76-9P 655238-77-0P  
655238-78-1P 655238-79-2P 655238-82-7P  
655238-83-8P 655238-84-9P 655238-85-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and biol. activity of analogs of AHMA (3-(9-acridinylamino)-5-hydroxymethylaniline) as potential antitumor agents)

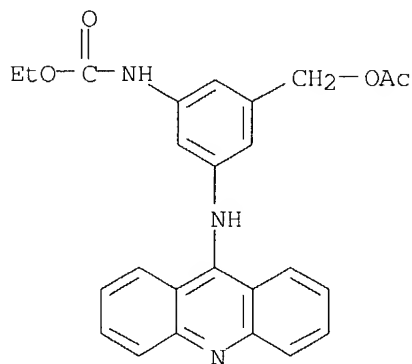
RN 110004-32-5 CAPLUS

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RN 237768-07-9 CAPLUS

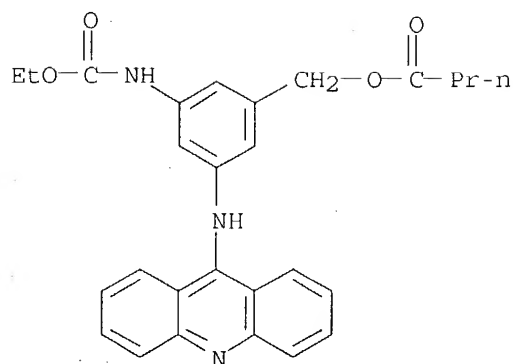
CN Carbamic acid, [3-[(acetyloxy)methyl]-5-(9-acridinylamino)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 655238-59-8 CAPLUS

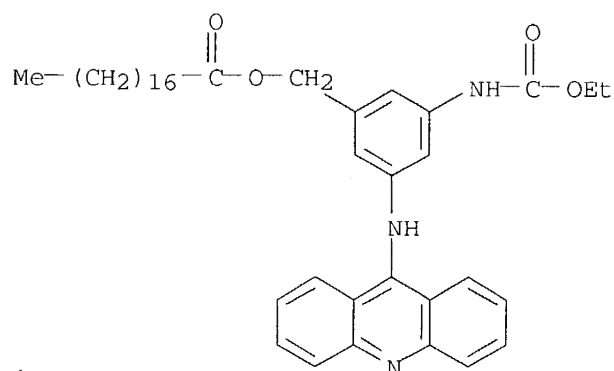
CN Butanoic acid, [3-(9-acridinylamino)-5-[(ethoxycarbonyl)amino]phenyl]methyl ester (9CI) (CA INDEX NAME)

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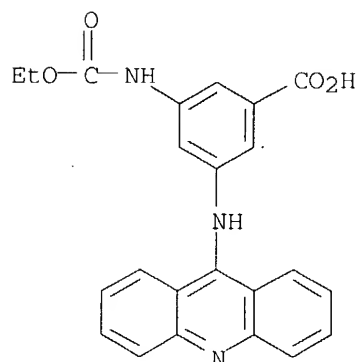
RN 655238-60-1 CAPLUS

CN Octadecanoic acid, [3-(9-acridinylamino)-5-[(ethoxycarbonyl)amino]phenyl]methyl ester (9CI) (CA INDEX NAME)



RN 655238-62-3 CAPLUS

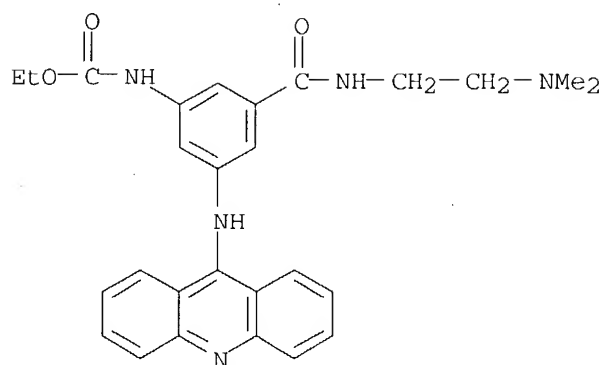
CN Benzoic acid, 3-(9-acridinylamino)-5-[(ethoxycarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 655238-63-4 CAPLUS

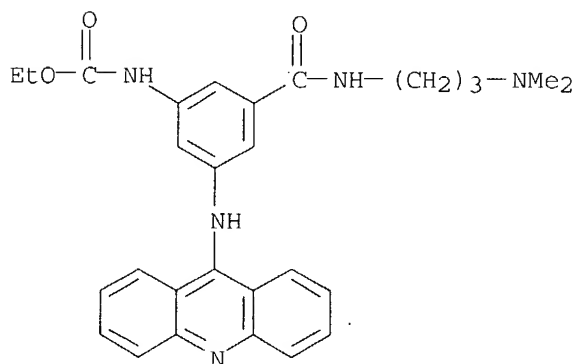
CN Carbamic acid, [3-(9-acridinylamino)-5-[[[2-(dimethylamino)ethyl]amino]carbonyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/630,343



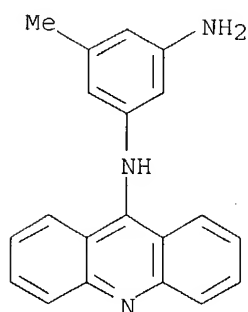
RN 655238-64-5 CAPLUS

CN Carbamic acid, [3-(9-acridinylamino)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 655238-65-6 CAPLUS

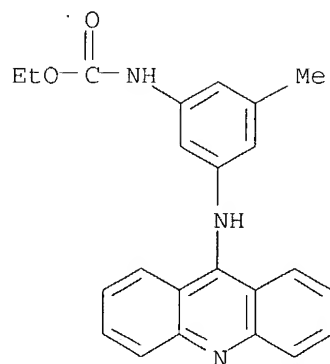
CN 1,3-Benzenediamine, N-9-acridinyl-5-methyl- (9CI) (CA INDEX NAME)



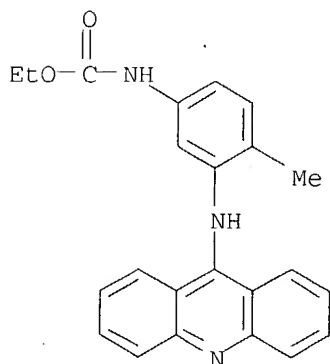
RN 655238-66-7 CAPLUS

CN Carbamic acid, [3-(9-acridinylamino)-5-methylphenyl]-, ethyl ester (9CI) (CA INDEX NAME)

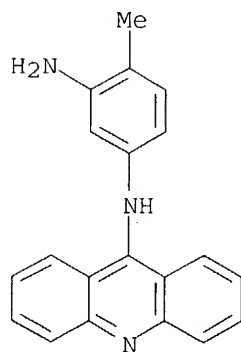
10/630,343



RN 655238-67-8 CAPLUS  
CN Carbamic acid, [3-(9-acridinylamino)-4-methylphenyl]-, ethyl ester (9CI)  
(CA INDEX NAME)

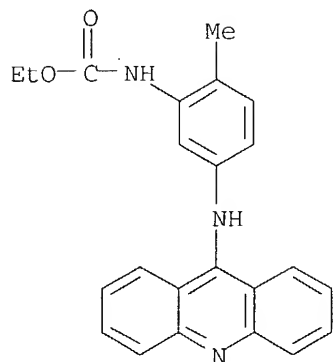


RN 655238-69-0 CAPLUS  
CN 1,3-Benzenediamine, N-9-acridinyl-4-methyl- (9CI) (CA INDEX NAME)



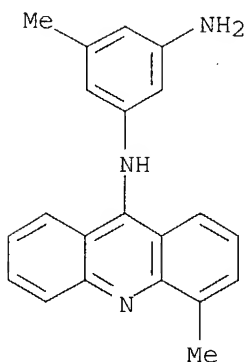
RN 655238-70-3 CAPLUS  
CN Carbamic acid, [5-(9-acridinylamino)-2-methylphenyl]-, ethyl ester (9CI)  
(CA INDEX NAME)

10/630,343



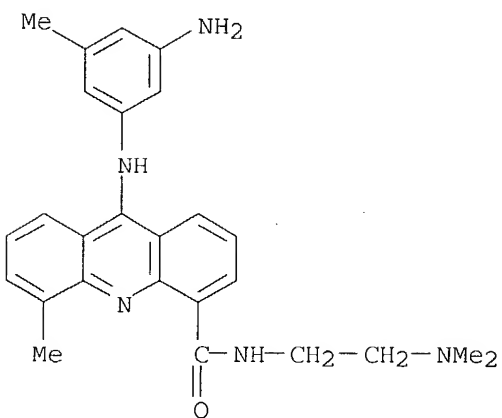
RN 655238-72-5 CAPLUS

CN 1,3-Benzenediamine, 5-methyl-N-(4-methyl-9-acridinyl)- (9CI) (CA INDEX NAME)



RN 655238-73-6 CAPLUS

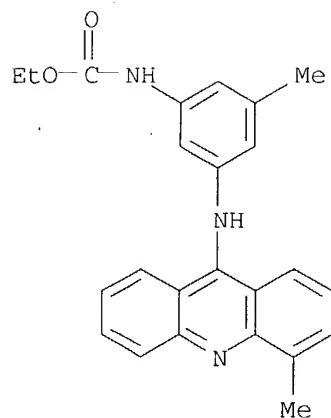
CN 4-Acridinecarboxamide, 9-[(3-amino-5-methylphenyl)amino]-N-[2-(dimethylamino)ethyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 655238-74-7 CAPLUS

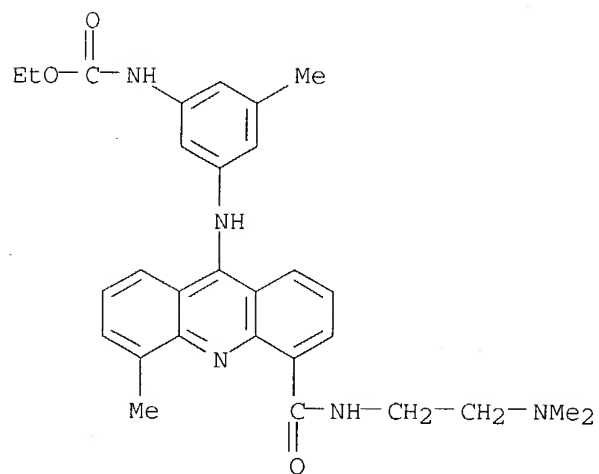
CN Carbamic acid, [3-methyl-5-[(4-methyl-9-acridinyl)amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

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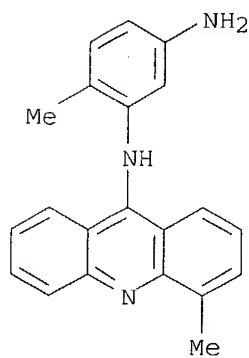
RN 655238-75-8 CAPLUS

CN Carbamic acid, [3-[[4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-5-methyl-9-acridinyl]amino]-5-methylphenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 655238-76-9 CAPLUS

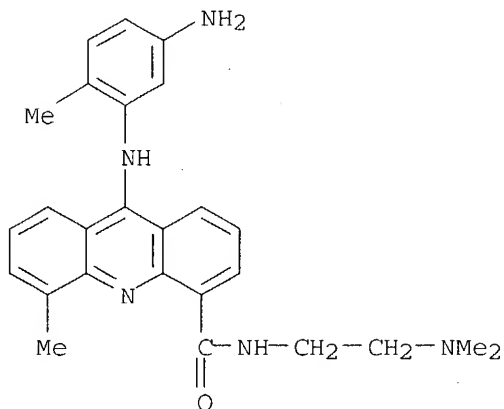
CN 1,3-Benzenediamine, 4-methyl-N3-(4-methyl-9-acridinyl)- (9CI) (CA INDEX NAME)



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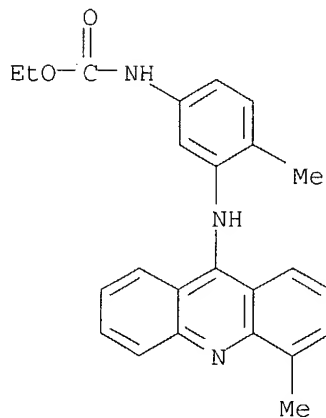
RN 655238-77-0 CAPLUS

CN 4-Acridinecarboxamide, 9-[(5-amino-2-methylphenyl)amino]-N-[2-(dimethylamino)ethyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 655238-78-1 CAPLUS

CN Carbamic acid, [4-methyl-3-[(4-methyl-9-acridinyl)amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

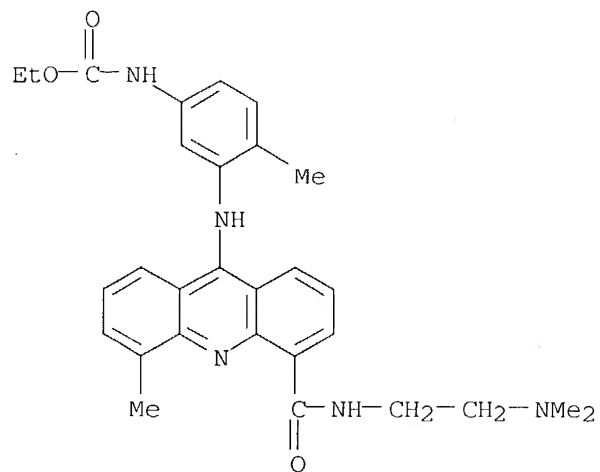


RN 655238-79-2 CAPLUS

CN Carbamic acid, [3-[[4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-5-methyl-9-acridinyl]amino]-4-methylphenyl]-, ethyl ester (9CI) (CA INDEX NAME)

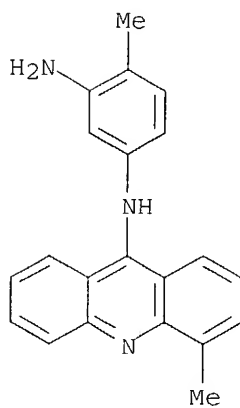


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RN 655238-82-7 CAPLUS

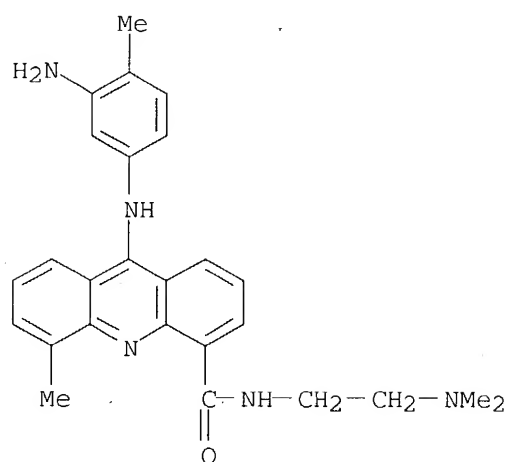
CN 1,3-Benzenediamine, 4-methyl-N1-(4-methyl-9-acridinyl)- (9CI) (CA INDEX NAME)



RN 655238-83-8 CAPLUS

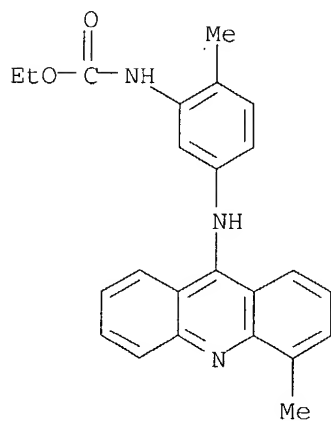
CN 4-Acridinecarboxamide, 9-[(3-amino-4-methylphenyl)amino]-N-[2-(dimethylamino)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

10/630,343



RN 655238-84-9 CAPLUS

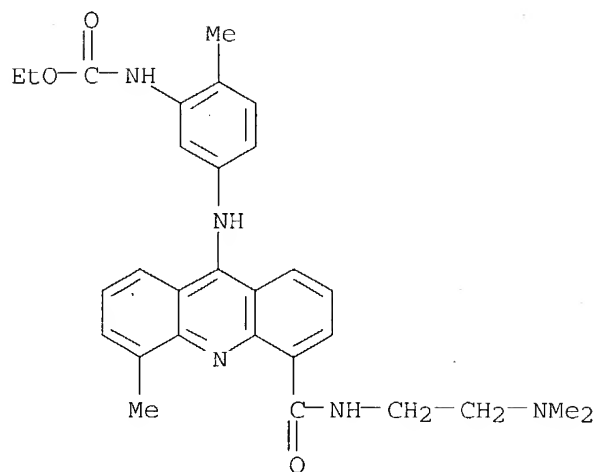
CN Carbamic acid, [2-methyl-5-[(4-methyl-9-acridinyl)amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 655238-85-0 CAPLUS

CN Carbamic acid, [5-[[4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-5-methyl-9-acridinyl]amino]-2-methylphenyl]-, ethyl ester (9CI) (CA INDEX NAME)

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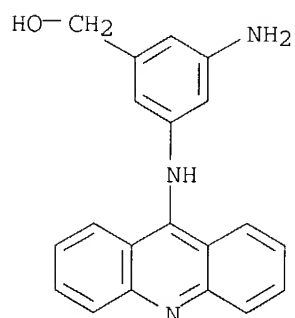
IT 154310-42-6 655238-57-6 655238-58-7

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and biol. activity of analogs of AHMA (3-(9-acridinylamino)-5-hydroxymethylaniline) as potential antitumor agents)

RN 154310-42-6 CAPLUS

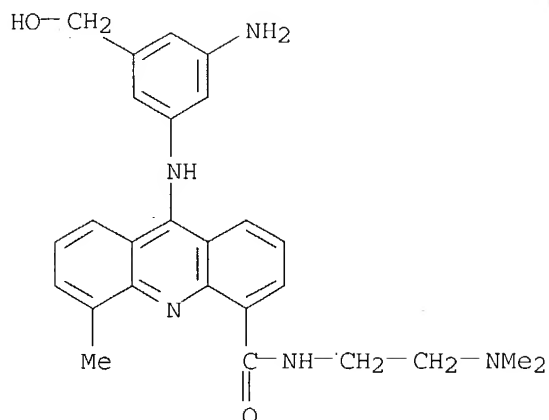
CN Benzenemethanol, 3-(9-acridinylamino)-5-amino- (9CI) (CA INDEX NAME)



RN 655238-57-6 CAPLUS

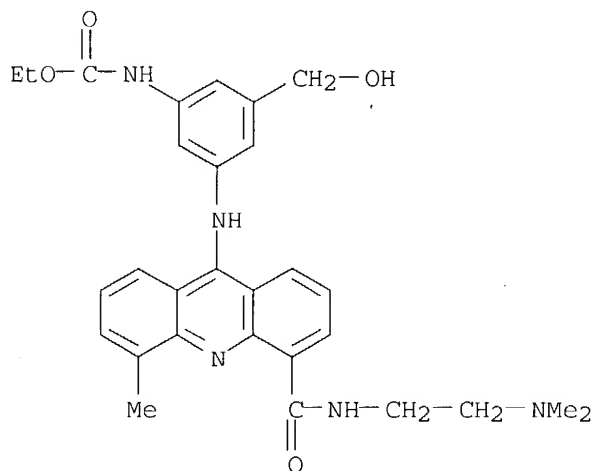
CN 4-Acridinecarboxamide, 9-[[3-amino-5-(hydroxymethyl)phenyl]amino]-N-[2-(dimethylamino)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

10/630,343



RN 655238-58-7 CAPLUS

CN Carbamic acid, [3-[[4-[[[2-(dimethylamino)ethyl]amino]carbonyl]-5-methyl-9-acridinyl]amino]-5-(hydroxymethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 655238-61-2P

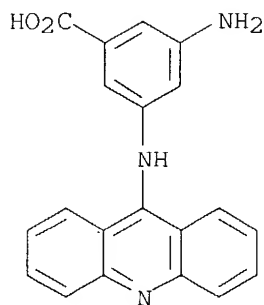
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of analogs of AHMA (3-(9-acridinylamino)-5-hydroxymethylaniline) as potential antitumor agents)

RN 655238-61-2 CAPLUS

CN Benzoic acid, 3-(9-acridinylamino)-5-amino- (9CI) (CA INDEX NAME)

10/630,343



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

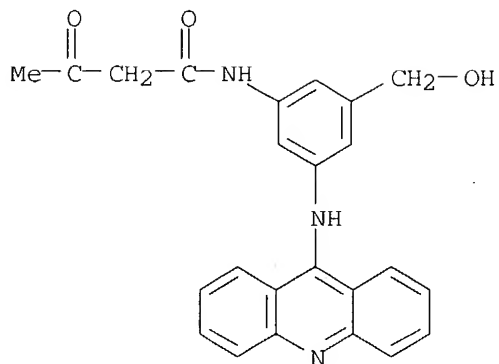
L6 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:719452 CAPLUS  
DOCUMENT NUMBER: 139:245913  
TITLE: Preparation of 9-aminoacridines as antitumor agents  
INVENTOR(S): Cho, Eui-hwan; Chung, Sun-gan; Lee, Sun-hwan; Kwon, Ho-seok; Kang, Dong-wook  
PATENT ASSIGNEE(S): Samjin Pharmaceutical Co., Ltd., S. Korea  
SOURCE: PCT Int. Appl., 38 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074490	A1	20030912	WO 2002-KR392	20020307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			WO 2002-KR392	20020307
OTHER SOURCE(S):			MARPAT 139:245913	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

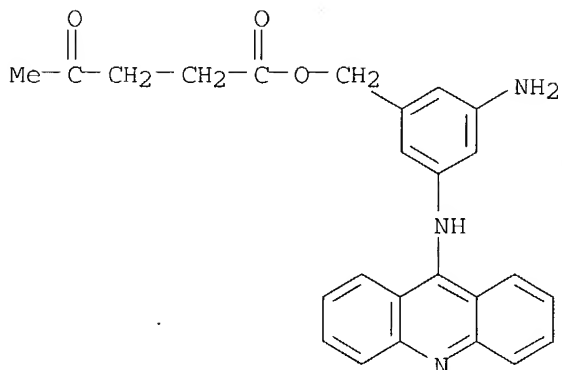
AB Title compds. I [wherein Y = 0 (i.e., absent) or -[COCH(CH<sub>3</sub>)NH]-; X = O or S; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> = independently H, halo, NO<sub>2</sub>, NH<sub>2</sub>, OH and derivs., alkyl, alkyl(hydroxy/amino); R', R'' = independently alkyl or alkoxy; Z = alkyl, alkoxy or alkylamino; and their pharmaceutically acceptable salts] were prepared as antitumor agents. For example, (S)-isomeric compound II was prepared, in 52.3% yield, by condensation of 2-ethyl-5-[[4-(3,5-dimethylphenyl)piperazin-1-ylcarbonyl]amino]-6-methoxynicotinic acid dissolved in pyridine with (S)-N-[3-(acridin-9-ylamino)-5-hydroxymethylphenyl]-2-aminopropanamide (see PCT/KR99/00787) in the presence of DCC/DMAP for 24 h at room temperature I have comparable or superior

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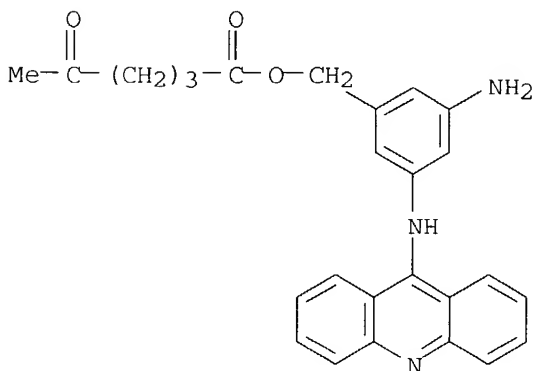
RN 154310-51-7 CAPLUS

CN Pentanoic acid, 4-oxo-, [3-(9-acridinylamino)-5-aminophenyl]methyl ester  
(9CI) (CA INDEX NAME)



RN 154310-52-8 CAPLUS

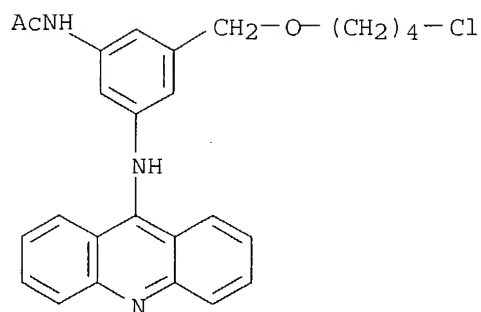
CN Hexanoic acid, 5-oxo-, [3-(9-acridinylamino)-5-aminophenyl]methyl ester  
(9CI) (CA INDEX NAME)



RN 154310-53-9 CAPLUS

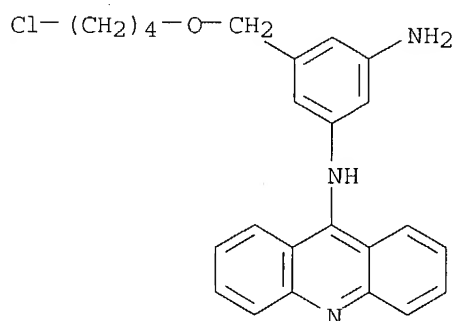
CN Hexanamide, N-[3-(9-acridinylamino)-5-(hydroxymethyl)phenyl]-5-oxo- (9CI)  
(CA INDEX NAME)

10/630,343



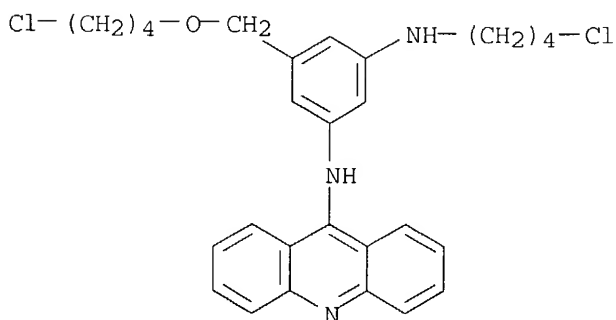
RN 154311-46-3 CAPLUS

CN 1,3-Benzenediamine, N-9-acridinyl-5-[(4-chlorobutoxy)methyl]- (9CI) (CA INDEX NAME)



RN 154311-47-4 CAPLUS

CN 1,3-Benzenediamine, N-9-acridinyl-5-[(4-chlorobutoxy)methyl]-N'-(4-chlorobutyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:501500 CAPLUS

DOCUMENT NUMBER: 117:101500

TITLE: Structure of 4'-(9-acridinylamino)-2'-methoxymethanesulfonanilide (o-AMSA) methanol solvate, an inactive isomer of the anti-cancer drug amsacrine (m-AMSA)

AUTHOR(S): Buckleton, John S.; Clark, George R.

CORPORATE SOURCE: Dep. Chem., Univ. Auckland, Auckland, N. Z.

SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1992), C48(6), 1085-8

10/630,343

CODEN: ACSCEE; ISSN: 0108-2701

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The title compound is triclinic, space group P.hivin.1, with a 9.545(2), b 14.338(1), c 8.3748(7) Å, α 106.032(6), β 103.230(9), and γ 70.96(1)°; Z = 2, dc = 1.373; R = 0.039 for 2169 reflections. Atomic coordinates are given. The crystal packing is enhanced by intermol. H bonds, by H bonds with the methanol solvate mol., and by stacking interactions between the acridine rings. There are no obvious structural features which explain the lack of antitumor activity.

IT 142875-29-4

RL: PRP (Properties)  
(crystal structure of)

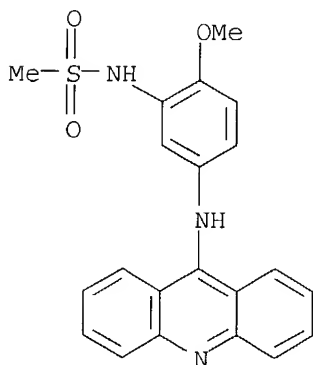
RN 142875-29-4 CAPLUS

CN Methanesulfonamide, N-[5-(9-acridinylamino)-2-methoxyphenyl]-, compd. with methanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 80266-70-2

CMF C21 H19 N3 O3 S



CM 2

CRN 67-56-1

CMF C H4 O

H<sub>3</sub>C-OH

L6 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:37120 CAPLUS

DOCUMENT NUMBER: 116:37120

TITLE: Pharmaceuticals and apparatus based on Moessbauer isotopic resonant absorption of γ emission (MIRAGE) providing diagnosis and selective tissue necrosis

INVENTOR(S): Mills, Randell L.

PATENT ASSIGNEE(S): USA

SOURCE: Can. Pat. Appl., 211 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

LANGUAGE: English



10/630,343

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2005039	AA	19910608	CA 1989-2005039	19891208
PRIORITY APPLN. INFO.:			CA 1989-2005039	19891208

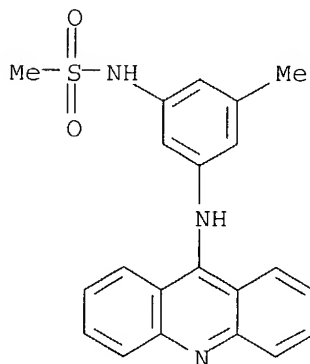
AB Pharmaceuticals and apparatus used in combination for diagnosis and tissue necrosis (e.g. in cancer treatment) of disclosed to provide effective and selective therapy using MSRAGE. Selected pharmaceutical compds. containing a radiation absorber isotope of administered to a tissue and excited by a radiation source which provides energy at the corresponding resonant Moessbauer absorption frequency of the isotope-containing pharmaceutical, where excitation effects nuclear transitions to cause highly selective energy absorption in the selected target tissue. For diagnostic purposes, de-excitation fluorescence of the isotope is monitored. For therapeutic purposes, the energy is converted to particle radiation by the isotope at the target tissue by internal conversion followed by an Anger cascade which results in radiolysis of DNA, resulting in lethal double strand breaks in the DNA mols. of the target tissue. The tissue selectivity is achieved by providing a Moessbauer absorption frequency of the target tissue which differs from that of surrounding tissue. Schematic diagrams of the apparatus of the invention are included, as is a thorough theor. section. The MIRAGE treatment and MIRAGE drug 12/29/w were tested in a variety of tumor cell lines. The expts. showed the possibility of cell killing with nontoxic levels of radiation b orders of magnitude less than that of conventional radiation therapy where the Moessbauer effect was exploited for treatment.

IT 138704-53-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(for diagnosis and therapy, Moessbauer absorption in relation to)

RN 138704-53-7 CAPLUS

CN Methanesulfonamide, N-[3-(9-acridinylamino)-5-methylphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:142838 CAPLUS

DOCUMENT NUMBER: 108:142838

TITLE: Quantitative structure activity relationship studies on some potential antitumor agents. I

AUTHOR(S): Gupta, D. K.; Srivastava, Arun; Gupta, R. K.; Tewari,

10/630,343

CORPORATE SOURCE:  
SOURCE:

K. K.; Srivastava, A. K.; Agrawal, V. K.  
Dep. Chem., Univ. Allahaad, Allahabad, India  
National Academy Science Letters (India) (1987),  
10(8), 279-81

CODEN: NASL DX; ISSN: 0250-541X

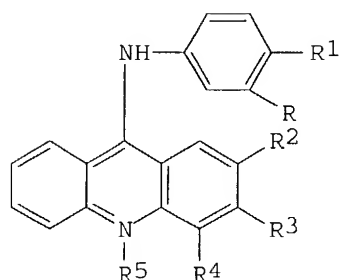
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



AB Quant. structure activity relationship studies on derivs. of 9-anilino acridine (I; R = H or  $\text{NHSO}_2\text{CH}_3$ , R1 = H, OH, CN, COOH,  $\text{CH}_2\text{COOH}$ , etc., R2 = H,  $\text{NH}_2$ , or  $\text{NHCOCH}_3$ , R3 = H,  $\text{NH}_2$ , or  $\text{N}_3$ , R4 = H or  $\text{CH}_3$ , and R5 = H or  $\text{CH}_3$ ) have been made using the valence mol. connectivity index  $\chi^V$ . The antitumor activity of the potential antitumor agents was calculated using a simple linear regression equation. These calculated values correlated well with the observed values.

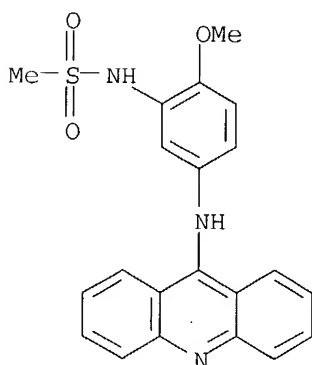
IT 80266-70-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(neoplasm inhibition by, QSAR study of)

RN 80266-70-2 CAPLUS

CN Methanesulfonamide, N-[5-(9-acridinylamino)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:628423 CAPLUS

DOCUMENT NUMBER: 107:228423

TITLE: Computer-automated structure evaluation of antileukemic 9-anilinoacridines

AUTHOR(S): Klopman, Gilles; Macina, Orest T.

10/630,343

CORPORATE SOURCE: Dep. Chem., Case West. Reserve Univ., Cleveland, OH,  
44106, USA

SOURCE: Molecular Pharmacology (1987), 31(4), 457-76  
CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE: Journal

LANGUAGE: English

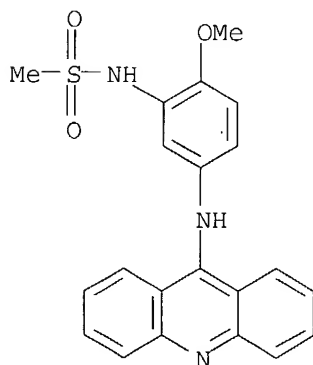
AB The computer-automated structure evaluation (CASE) program was applied to the evaluation of antileukemic (L1210) and toxic activities of an extensive series of 9-anilinoacridines. Major mol. fragments relevant to the resp. biol. end-points were automatically generated and incorporated within equations used to estimate the degree of activity. Correlations of these activating/inactivating fragments with the biol. activities are discussed.

IT 80266-70-2 110004-32-5 110004-91-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antileukemic activity of, computer-automated structure evaluation of)

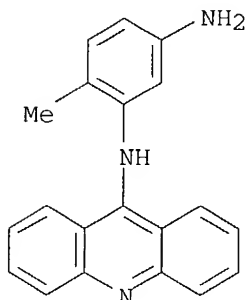
RN 80266-70-2 CAPLUS

CN Methanesulfonamide, N-[5-(9-acridinylamino)-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



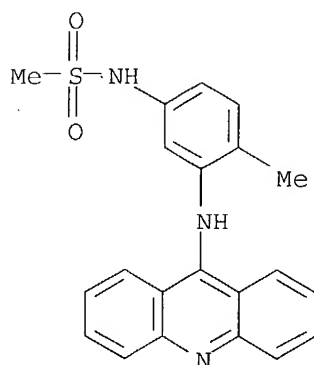
RN 110004-32-5 CAPLUS

CN 1,3-Benzenediamine, N3-9-acridinyl-4-methyl- (9CI) (CA INDEX NAME)

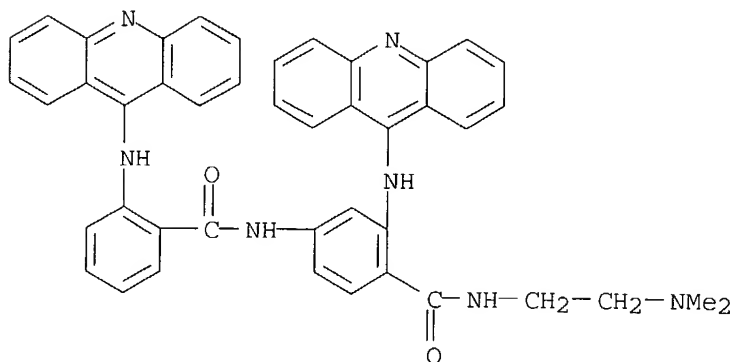


RN 110004-91-6 CAPLUS

CN Methanesulfonamide, N-[3-(9-acridinylamino)-4-methylphenyl]- (9CI) (CA INDEX NAME)

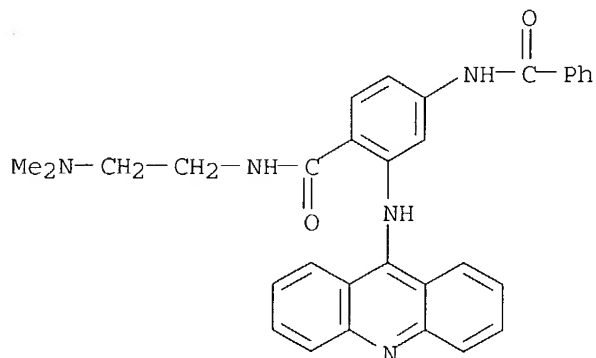


L6 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1985:418546 CAPLUS  
 DOCUMENT NUMBER: 103:18546  
 TITLE: A diacridine derivative that binds by bisintercalation at two contiguous sites on DNA  
 AUTHOR(S): Atwell, Graham J.; Stewart, Georgina M.; Leupin, Werner; Denny, William A.  
 CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, N. Z.  
 SOURCE: Journal of the American Chemical Society (1985), 107(14), 4335-7  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A diacridine derivative with a rigid linker chain holding the 2 chromophores coplanar and .apprx.7 Å apart in the most likely strain-free conformation binds tightly to DNA. It extends the helix length of short rod-like DNA and unwinds closed circular supercoiled DNA to more than twice the extent of the corresponding 2 monoacridine derivs. These data are consistent with intercalation of both chromophores at contiguous sites (on either side of the same base pair), in violation of the nearest neighbor exclusion principle.  
 IT **96706-52-4P 96706-53-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and DNA bisintercalation by)  
 RN 96706-52-4 CAPLUS  
 CN Benzamide, 2-(9-acridinylamino)-4-[[2-(9-acridinylamino)benzoyl]amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



10/630,343

CN Benzamide, 2-(9-acridinylamino)-4-(benzoylamino)-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:174039 CAPLUS

DOCUMENT NUMBER: 100:174039

TITLE: One-electron reduction potential of  
[9-(2-methoxy-4-methylsulfonylaminoanilino)acridinium]  
+ (m-AMSA+) as measured by pulse radiolysis

AUTHOR(S): Anderson, Robert F.; Packer, John E.; Denny, William A.

CORPORATE SOURCE: Cancer Res. Campaign Gray Lab., Mount Vernon Hosp.,  
Northwood, HA6 2RN, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions  
2: Physical Organic Chemistry (1972-1999) (1984),  
(1), 49-52

CODEN: JCPKBH; ISSN: 0300-9580

DOCUMENT TYPE: Journal

LANGUAGE: English

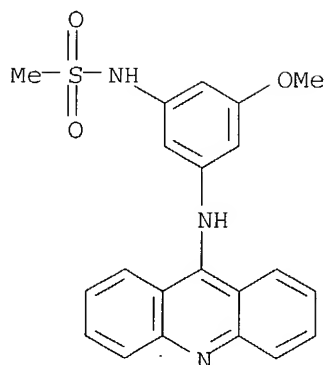
AB The absorption spectrum of one-electron reduced m-AMSA+ was measured by pulse radiolysis. The radical species formed, m-AMSA•, is readily oxidized by O, FMN, and triquat; m-AMSA+ itself acts as an oxidant of the one-electron reduced species of NAD. The one-electron reduction potential of the m-AMSA+/m-AMSA• couple at pH 7, E17, was -803 mV (vs. NHE), derived from the equilibrium established between m-AMSA+/m-AMSA• and a low-potential bipyridinium compound used as a redox indicator.

IT 89839-33-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reduction of, pulse radiolytic)

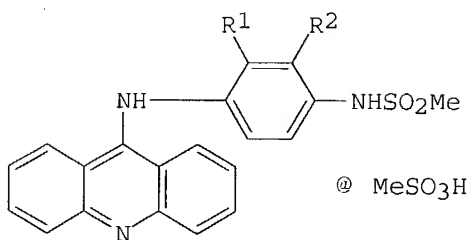
RN 89839-33-8 CAPLUS

CN Methanesulfonamide, N-[3-(9-acridinylamino)-5-methoxyphenyl]-, conjugate monoacid (9CI) (CA INDEX NAME)



● H<sup>+</sup>

L6 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1984:167805 CAPLUS  
 DOCUMENT NUMBER: 100:167805  
 TITLE: Relationship between the induction of chromosome damage and cytotoxicity for amsacrine and congeners  
 AUTHOR(S): Ferguson, Lynnette R.; Baguley, Bruce C.  
 CORPORATE SOURCE: Med. Sch., Univ. Auckland, Auckland, N. Z.  
 SOURCE: Cancer Treatment Reports (1984), 68(4), 625-30  
 CODEN: CTRRDO; ISSN: 0361-5960  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



I

AB The antitumor drug amsacrine (I; R<sub>1</sub> = R<sub>2</sub> = H) [51264-14-3] causes chromosomal aberrations both in cultured cells (L1210 murine leukemia and HeLa cells) and in vivo (L1210 leukemia) in mice. The proportions of different types of aberrations, mainly chromatid gaps, chromatid exchanges, and acentric chromosome fragments, are similar in both the in vivo and in vitro expts. A small proportion of cells, particularly after drug exposure for 24 h, show extensively damaged or pulverized chromosomes. In in vitro expts. using HeLa cells and amsacrine, together with 2 analogs of amsacrine [I (R = MeO, R<sub>2</sub> = H) [89808-47-9] and I (R<sub>1</sub> = H, R<sub>2</sub> = MeO) [89808-48-0]] with differing cytotoxic activity, an inverse relationship between the frequency of chromosomal aberrations and cell survival has been demonstrated. Apparently, for this class of drugs, the induction of chromosomal aberrations, both in vivo and in vitro, leads to cell death.

IT 89808-47-9 89808-48-0

10/630,343

RL: PRP (Properties)

(cytotoxicity of, chromosome damage in relation to)

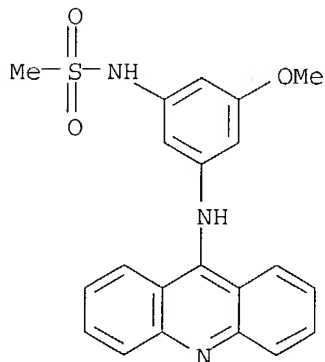
RN 89808-47-9 CAPLUS

CN Methanesulfonamide, N-[3-(9-acridinylamino)-5-methoxyphenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 89808-46-8

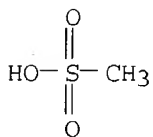
CMF C21 H19 N3 O3 S



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 89808-48-0 CAPLUS

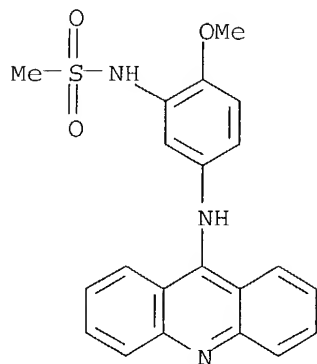
CN Methanesulfonamide, N-[5-(9-acridinylamino)-2-methoxyphenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 80266-70-2

CMF C21 H19 N3 O3 S

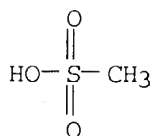
10/630,343



CM 2

CRN 75-75-2

CMF C H4 O3 S



L6 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:79437 CAPLUS

DOCUMENT NUMBER: 96:79437

TITLE: Potential antitumor agents. 36. Quantitative relationships between experimental antitumor activity, toxicity, and structure for the general class of 9-anilinoacridine antitumor agents

AUTHOR(S): Denny, William A.; Cain, Bruce F.; Atwell, Graham J.; Hansch, Corwin; Panthanickal, Augustine; Leo, A.

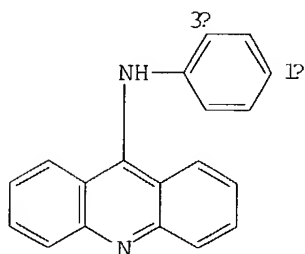
CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, N. Z.

SOURCE: Journal of Medicinal Chemistry (1982), 25(3), 276-315  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



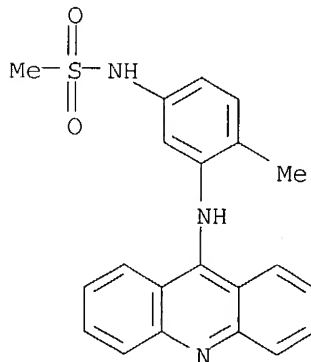
AB Quant. relationships (QSAR) were derived between antileukemic (L1210) activity and agent physicochem. properties for 509 tumor-active members of the general class of 9-anilinoacridines (I). Agent hydrophobicity proved a significant but not a dominant influence on in vivo potency. The electronic properties of substituent groups proved important, but the most significant effects on drug potency were shown by the steric influence of groups placed at various positions on the 9-anilinoacridine skeleton. The results are entirely consistent with the physiol. important step in the action of these compds. being their binding to double-stranded DNA by intercalation of the acridine chromophore between the base pairs and positioning of the anilino group in the minor groove, as previously suggested. An equation was also derived for the acute toxicities of 643 derivs. of 9-anilinoacridine. This equation took a somewhat similar form to the one modeling antileukemia potency, emphasizing the usual fairly close relationship between potency and acute toxicity for antitumor agents in general. This study demonstrated the power of QSAR techniques to structure very large amts. of biol. data and to allow the extraction of useful information from them bearing on the possible site of action of the compds. concerned.

IT 80258-39-5P 80266-71-3P 80267-00-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and neoplasm-inhibiting activity of, QSAR in)

RN 80258-39-5 CAPLUS

CN Methanesulfonamide, N-[3-(9-acridinylamino)-4-methylphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 80266-71-3 CAPLUS

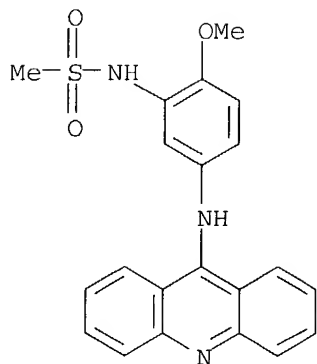
CN Methanesulfonamide, N-[5-(9-acridinylamino)-2-methoxyphenyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 80266-70-2

CMF C21 H19 N3 O3 S

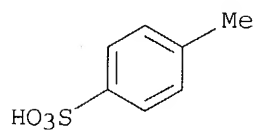
10/630,343



CM 2

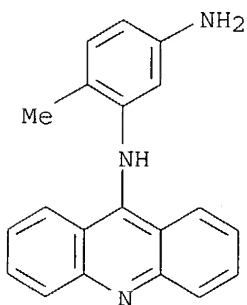
CRN 104-15-4

CMF C7 H8 O3 S



RN 80267-00-1 CAPLUS

CN 1,3-Benzenediamine, N3-9-acridinyl-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

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FILE 'REGISTRY' ENTERED AT 18:59:22 ON 09 APR 2004

L1 STRUCTURE UPLOADED

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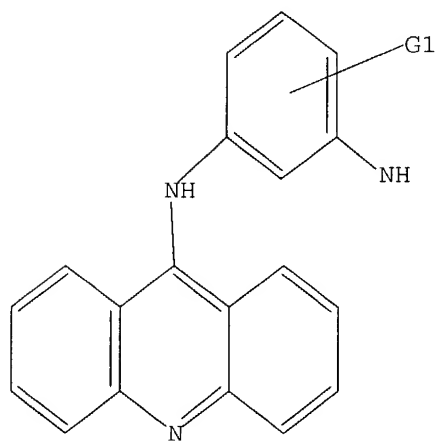
L3 STRUCTURE UPLOADED

10/630,343

L4 26 S L3  
L5 522 S L3 FULL

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L6 25 S L5

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L3 HAS NO ANSWERS  
L3 STR



G1 O, Ak

Structure attributes must be viewed using STN Express query preparation.

=>

PALM INTRANET

Day : Friday  
Date: 4/9/2004  
Time: 19:17:46**Inventor Name Search Result**

Your Search was:

Last Name = SU

First Name = TSANN-LONG

Application#	Patent#	Status	Date Filed	Title
<u>60460311</u>	Not Issued	020	04/04/2003	5-(9-ACRIDINYLAMINO)-TOLUIDINE COMPOUNDS
<u>10630343</u>	Not Issued	030	07/30/2003	5-(9-ACRIDINYLAMINO)-TOLUIDINE COMPOUNDS
<u>09073025</u>	<u>5939428</u>	150	05/04/1998	ALKYL N-[3-(ACRIDIN-9-YL)AMINO-5-HYDROXYMETHYL]PHENYLCARBAMATES
<u>08667257</u>	Not Issued	161	06/20/1996	ALKYL N-[3-(ACRIDIN-9-YL)AMINO-5-HYDROXYMETHYL]PHENYLCARBAMATES
<u>08030581</u>	<u>5476952</u>	150	03/12/1993	ANTITUMOR CYCLOPENTANAPHTHOQUINONE AND CYCLOPENTANTHRAQUINONE DERIVATIVES
<u>07886980</u>	<u>5354864</u>	150	05/21/1992	3-(9-ACRIDINYLAMINO)-5-HYDROXYMETHYLANIL DERIVATIVES AS ANTICANCER AGENTS
<u>07671126</u>	<u>5296602</u>	250	03/18/1991	MULTISUBSTITUTED 1-HYDROXY-9-ACRIDONES WITH ANTICANCER ACTIVITY
<u>07523044</u>	Not Issued	161	05/14/1990	6,7-DIHYDROPYRROLO(3,4-C) PYRIDO(2,3-D) PYRIMIDINE DERIVATIVES
<u>07332893</u>	<u>5112869</u>	150	04/04/1989	SUBSTITUTED 1-PHENYLNAPHTHALENES
<u>07293940</u>	<u>4925939</u>	150	01/05/1989	6,7-DIHYDROPYRROLO(3,4-C)PYRIDO(2,3-D)PYRIMIDINE DERIVATIVES
<u>07214510</u>	Not Issued	161	07/01/1988	5-DEAZA-5,7-DISUBSTITUTED-AMINOPTERIN ANALOGUES
<u>06418157</u>	Not Issued	161	09/15/1982	RADIO-LABELED 5-SUBSTITUTED PYRIMIDINE NUCLEOSIDES

Inventor Search Completed: No Records to Display.

	Last Name	First Name
Search Another: Inventor	<input type="text" value="Su"/>	<input type="text" value="Tsann-Long"/>
	<input type="button" value="Search"/>	

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